

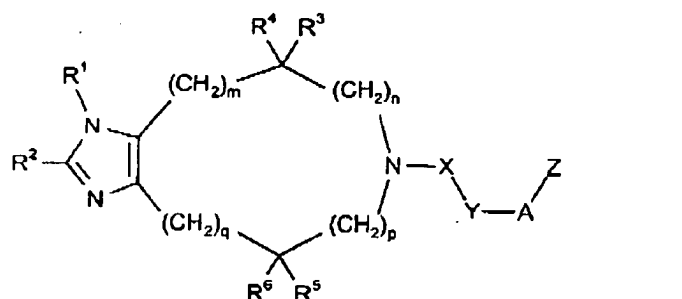
Attorney Docket No. 5390.200-US  
 Dorwald et al.  
 Serial No. 09/548,081 Filed April 12, 2000

## CLAIM LISTING

What is claimed is:

1-101. (Cancelled)

102. (Currently amended) A compound of formula I



wherein

R<sup>1</sup> is hydrogen or a functional group which can be converted to hydrogen *in vivo*, wherein said functional group is selected from the group consisting of acyl, carbamoyl, monoalkylated carbamoyl, dialkylated carbamoyl, alkoxycarbonyl, C<sub>1-6</sub>alkanoyl, aroyl, C<sub>1-6</sub>alkylcarbamoyl, di-C<sub>1-6</sub>alkylcarbamoyl, dialkylaminosulfonyl, C<sub>1-6</sub>alkoxycarbonyl and 1-(C<sub>1-6</sub>alkoxy)-C<sub>1-6</sub>alkyl;

R<sup>2</sup> is hydrogen,

R<sup>3</sup> and R<sup>4</sup> independently are hydrogen, trifluoromethyl,

C<sub>1-6</sub>-alkyl optionally substituted with C<sub>3-8</sub>-cycloalkyl,

~~aryl optionally substituted with C<sub>1-6</sub>-alkyl,~~ or

R<sup>3</sup> and R<sup>4</sup>, together with the carbon atom to which they are connected, form a 3 to 8-membered, saturated or unsaturated, carbocyclic or heterocyclic ring optionally substituted with C<sub>1-6</sub>-alkyl,

C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylthio, hydroxy, amino, C<sub>1-6</sub>-alkylamino, di(C<sub>1-6</sub>-alkyl)amino, halogen, cyano, trifluoromethyl, trifluoromethoxy, heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino or heteroarylamino;

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R<sup>5</sup> and R<sup>6</sup> are H;

m, n, p are 0, and q is 1;

X is -CH<sub>2</sub>-, -C(=O)-, -C(=S)-, -S(=O)-, -S(=O)<sub>2</sub>-, -C(=N-CN)-,  
-C(=CH-NO<sub>2</sub>)-, -C(=C(CN)<sub>2</sub>)-, -C(=CH-CN)-, or -C(=N-S(=O)<sub>2</sub>R<sup>11a</sup>)-,

R<sup>11a</sup> is C<sub>1-6</sub>-alkyl optionally substituted with

aryl, heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino, heteroarylamino or  
C<sub>3-8</sub>-cycloalkyl, which are optionally substituted with

C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylthio, hydroxy, amino, C<sub>1-6</sub>-alkylamino,  
di(C<sub>1-6</sub>-alkyl)amino, halogen, cyano, trifluoromethyl, trifluoromethoxy, aryl,  
heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino or heteroarylamino,  
aryl, heteroaryl, aroyl, heteroaroyl, arylsulfonyl or heteroarylsulfonyl, which are  
optionally substituted with

C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylthio, hydroxy, amino, C<sub>1-6</sub>-alkylamino,  
di(C<sub>1-6</sub>-alkyl)amino, halogen, cyano, trifluoromethyl, trifluoromethoxy, aryl,  
heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino or heteroarylamino,

Y is a valence bond, -O- or -N(R<sup>12</sup>)-,

wherein R<sup>12</sup> is

hydrogen,

C<sub>1-6</sub>-alkyl optionally substituted with

aryl, heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino, heteroarylamino or  
C<sub>3-8</sub>-cycloalkyl, which are optionally substituted with

C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylthio, hydroxy, amino, C<sub>1-6</sub>-alkylamino,  
di(C<sub>1-6</sub>-alkyl)amino, halogen, cyano, trifluoromethyl, trifluoromethoxy, aryl,  
heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino or heteroarylamino,  
aryl, heteroaryl, aroyl, heteroaroyl, arylsulfonyl or heteroarylsulfonyl, which are  
optionally substituted with

C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylthio, hydroxy, amino, C<sub>1-6</sub>-alkylamino,  
di(C<sub>1-6</sub>-alkyl)amino, halogen, cyano, trifluoromethyl, trifluoromethoxy, aryl,  
heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino or heteroarylamino,

C<sub>1-6</sub>-alkylsulfonyl optionally substituted with

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C<sub>3-8</sub>-cycloalkyl, C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylthio, hydroxy, amino, C<sub>1-6</sub>-alkylamino, di(C<sub>1-6</sub>-alkyl)amino, halogen, cyano, trifluoromethyl, trifluoromethoxy, aryl, heteroaryl, aroyl, heteroaroyl, arylsulfonyl, arylamino or heteroarylamino;

A is a valence bond or C<sub>1-8</sub>-alkylene, C<sub>2-8</sub>-alkenylene or C<sub>2-8</sub>-alkynylene; and

Z is

Z is C<sub>1-6</sub>-alkyl, phenyl, naphthyl, thienyl, cyclopentyl, cyclohexyl, cyclohexenyl, oxazolyl, indanyl, isoquinolyl, benzoyl or tetrahydronaphthyl which are optionally substituted with one to three substituents selected from the group consisting of C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkoxy, halogen, phenyl, di(C<sub>1-6</sub>-alkyl)amino, C<sub>3-8</sub>-cyclopropanecarbonyl, trifluoromethoxy and trifluoromethyl;

C<sub>2-6</sub>-alkenyl or C<sub>2-6</sub>-alkynyl, which are optionally substituted with aryl, arylamino, heteroarylamino, aroyl, heteroaroyl, arylsulfonyl, C<sub>1-6</sub>-alkylsulfonyl, sulfonylamino, arylthio, heteroarylthio, aryloxy, acylamino, heteroaryl or C<sub>3-8</sub>-cycloalkyl, which are optionally substituted with

C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylthio, aryl-C<sub>1-6</sub>-alkyl, heteroaryl-C<sub>1-6</sub>-alkyl, nitro, arylamino, heteroarylamino, aroyl, heteroaroyl, arylsulfonyl, heteroarylsulfonyl, C<sub>1-6</sub>-alkylsulfonyl, sulfonylamino, arylthio, heteroarylthio, aryloxy, acylamino, hydroxy, amino, C<sub>1-6</sub>-alkylamino, di(C<sub>1-6</sub>-alkyl)amino, halogen, cyano, trifluoromethoxy or trifluoromethyl,

-NR<sup>13</sup>R<sup>14</sup>, in which R<sup>13</sup> and R<sup>14</sup> are both phenyl, which phenyl groups are joined with a C<sub>1-4</sub>-alkylene group to form a tricyclic ring system,

-CHR<sup>13</sup>R<sup>14</sup>, in which R<sup>13</sup> is C<sub>1-6</sub>-alkyl or phenyl, and R<sup>14</sup> is phenyl, or R<sup>13</sup> and R<sup>14</sup> are both C<sub>1-6</sub>-alkyl which are joined with C<sub>1-4</sub>-alkylene linkers to form a polycarbocyclic ring system, or

-CR<sup>13</sup>R<sup>14</sup>R<sup>15</sup>, in which R<sup>13</sup>, R<sup>14</sup> and R<sup>15</sup> are C<sub>1-6</sub>-alkyl which are joined with C<sub>1-4</sub>-alkylene linkers to form a polycarbocyclic ring system,

wherein

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heteroaryl is a 3 to 7 membered monocyclic or a 9 to 14 membered bi- or tricyclic aromatic system containing one or more heteroatoms selected from N, O or S, which is optionally partially or fully hydrogenated;

heteroaryl-amino is a radical wherein a -(NH)- group is linked to a heteroaryl group;

heteroaro-yl is a radical wherein a -(C=O)- group is linked to a heteroaryl group;

provided that

when X is -CS-, R<sup>1</sup>= hydrogen, the group -Y-A-Z must not start with the radical -NH-;

when X is -CO-, the group -Y-A-Z starts with the radical -NH-, R<sup>1</sup>= hydrogen, the remainder of the group -Y-A-Z must not be unsubstituted or C<sub>1-6</sub>-alkoxy substituted phenyl, unsubstituted C<sub>3-8</sub>-cycloalkyl or unsubstituted C<sub>1-6</sub>-alkyl;

when X is -CO-, Y is -O-, A is -CH<sub>2</sub>-, Z is phenyl, R<sup>1</sup>=R<sup>2</sup>=R<sup>4</sup>=R<sup>5</sup>=R<sup>6</sup>=hydrogen, m=n=p=0 and q=1, R<sup>3</sup> must not be hydrogen, ethyl, or isopropyl ~~or phenyl~~;  
or any optical or geometric isomer or tautomeric form thereof or a pharmaceutically acceptable salt thereof.

103. (Previously presented) A compound of claim 102, wherein R<sup>1</sup> = hydrogen.

104. (Previously presented) A compound of claim 102, wherein X is -C(=O)-.

105. (Previously presented) A compound of claim 102, wherein A is a valence bond, methylene, ethylene or propylene.

106. (Previously presented) A compound of claim 102, wherein Z is -NR<sup>13</sup>R<sup>14</sup>, -CHR<sup>13</sup>R<sup>14</sup> or -CR<sup>13</sup>R<sup>14</sup>R<sup>15</sup>.

107. (Previously presented) A compound of claim 102, wherein Z is C<sub>1-6</sub>-alkyl, optionally substituted with C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylthio, aryl-C<sub>1-6</sub>-alkyl, heteroaryl-C<sub>1-6</sub>-alkyl, nitro, aryl-amino, heteroaryl-amino, aroyl, heteroaro-yl, arylsulfonyl, heteroarylsulfonyl, C<sub>1-6</sub>-alkylsulfonyl, sulfonyl-amino, arylthio, heteroarylthio, aryloxy, acyl-amino, hydroxy,

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amino, C<sub>1-6</sub>-alkylamino, di(C<sub>1-6</sub>-alkyl)amino, halogen, cyano, trifluoromethoxy or trifluoromethyl,

108. (Cancelled)

109. (Cancelled)

110. (Previously presented) A compound of claim 102, wherein Z is -NR<sup>13</sup>R<sup>14</sup>, in which R<sup>13</sup> and R<sup>14</sup> are both phenyl, which phenyl groups are joined with a C<sub>1-4</sub>-alkylene group to form a tricyclic ring system.

111. (Previously presented) A compound of claim 102, wherein Z is -CHR<sup>13</sup>R<sup>14</sup>, in which R<sup>13</sup> is C<sub>1-6</sub>-alkyl or phenyl and R<sup>14</sup> is phenyl, or R<sup>13</sup> and R<sup>14</sup> are both C<sub>1-6</sub>-alkyl which are joined with C<sub>1-4</sub>-alkylene linkers to form a polycarbocyclic ring system.

112. (Previously presented) A compound of claim 102, wherein Z is -CR<sup>13</sup>R<sup>14</sup>R<sup>15</sup>, in which R<sup>13</sup>, R<sup>14</sup> and R<sup>15</sup> are C<sub>1-6</sub>-alkyl which are joined with C<sub>1-4</sub>-alkylene linkers to form a polycarbocyclic ring system.

113 (Cancelled)

114. (Previously presented) A compound of claim 102, wherein R<sup>3</sup> and R<sup>4</sup> are both hydrogen or are both C<sub>1-6</sub>-alkyl, or R<sup>3</sup> and R<sup>4</sup>, together with the carbon atom to which they are connected, form a C<sub>3-8</sub>-cycloalkyl ring, or one of R<sup>3</sup> and R<sup>4</sup> is hydrogen while the other is C<sub>3-8</sub>-cycloalkyl substituted C<sub>1-6</sub>-alkyl.

115. (Previously presented) A compound of claim 102, wherein R<sup>3</sup> and R<sup>4</sup>, are hydrogen.

116. (Cancelled)

117. (Cancelled)

118. (Cancelled)

119. (Cancelled)

120. (Cancelled)

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121. (Previously presented) A compound of claim 102, wherein Z is C<sub>1-6</sub>-alkyl, cyclopentyl, cyclohexyl, cyclohexenyl, oxazolyl, which are optionally substituted with one to three substituents selected from the group consisting of C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkoxy, halogen, phenyl, di(C<sub>1-6</sub>-alkyl)amino, C<sub>3-8</sub>-cyclopropanecarbonyl, trifluoromethoxy and trifluoromethyl.

122. (Previously presented) A compound of claim 102, wherein Z is cyclohexyl which is optionally substituted with C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkoxy, halogen, phenyl, di(C<sub>1-6</sub>-alkyl)amino, C<sub>3-8</sub>-cyclopropanecarbonyl, trifluoromethoxy and trifluoromethyl.

123. (Cancelled)

124. (Previously presented) A composition comprising, as an active ingredient, an effective amount of at least one compound of claim 102, together with one or more pharmaceutically acceptable carriers or diluents.

125. (Previously presented) The composition of claim 124 in unit dosage form, comprising from about 0.05 mg to about 1000 mg of the compound.

126. (Previously presented) The composition of claim 124 in unit dosage form, comprising from about 0.1 mg to about 500 mg of the compound.

127. (Previously presented) The composition of claim 124 in unit dosage form, comprising from about 0.5 mg to about 200 mg of the compound.

128. (Previously presented) A method of treating overweight or obesity comprising administering to a subject in need thereof a composition of claim 124.

129. (Previously presented) A method of treating overweight or obesity comprising administering to a subject in need thereof the compound of claim 102.

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130. (Previously presented) The compound of claim 102, wherein heteroaryl is selected from furyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, pyranyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, 1,2,3-triazinyl, 1,2,4-triazinyl, 1,3,5-triazinyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, tetrazolyl, thiadiazinyl, indolyl, isoindolyl, benzofuryl, benzothienyl, benzothiophenyl, indazolyl, benzimidazolyl, benzthiazolyl, benzisothiazolyl, benzisoxazolyl, purinyl, quinazolinyl, quinoliziny, quinoliny, isoquinoliny, quinoxaliny, naphthyridiny, pteridinyl, carbazolyl, azepiny, diazepiny, acridiny, pyrroliny, pyrazoliny, indoliny, pyrrolidinyl, piperidinyl, piperazinyl, azepiny, diazepiny, morpholiny, thiomorpholiny, oxazolidiny, oxazoliny, oxazepiny, aziridinyl and tetrahydrofurany.

131. (Previously presented) The compound of claim 102, wherein heteroaryl is selected from furyl, thienylcarbonyl, pyridoyl, oxazolylcarbonyl, benzofurylcarbonyl, benzimidazolylcarbonyl, pyrroliny, azepiny, pyrrolylcarbonyl, thiazolylcarbonyl, imidazolylcarbonyl, isoxazolylcarbonyl, isothiazolylcarbonyl, 1,2,3-triazolylcarbonyl, 1,2,4-triazolylcarbonyl, pyranylcarbonyl, pyridazinylcarbonyl, pyrimidinylcarbonyl, pyrazinylcarbonyl, 1,2,3-triazinylcarbonyl, 1,2,4-triazinylcarbonyl, 1,3,5-triazinylcarbonyl, 1,2,3-oxadiazolylcarbonyl, 1,2,4-oxadiazolylcarbonyl, 1,2,5-oxadiazolylcarbonyl, 1,2,3-thiadiazolylcarbonyl, 1,2,4-thiadiazolylcarbonyl, 1,2,5-thiadiazolylcarbonyl, 1,3,4-thiadiazolylcarbonyl, tetrazolylcarbonyl, thiadiazinylcarbonyl, indolylcarbonyl, isoindolylcarbonyl, benzothienylcarbonyl, benzothiophenylcarbonyl, indazolylcarbonyl, benzthiazolylcarbonyl, benzisothiazolylcarbonyl, benzisoxazolylcarbonyl, purinylcarbonyl, quinazolinylcarbonyl, quinoliziny, quinoliny, isoquinoliny, quinoxaliny, naphthyridiny, pteridinylcarbonyl, carbazolylcarbonyl, azepiny, diazepiny, acridiny, pyrroliny, pyrazoliny, indoliny, piperidinylcarbonyl, piperazinylcarbonyl, diazepiny, morpholiny, thiomorpholiny, oxazolidiny, oxazoliny, oxazepiny, aziridinylcarbonyl and tetrahydrofurany.

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132. (Previously presented) The compound of claim 102, wherein heteroaryl-amino is selected from furanyl-amino, thienyl-amino, pyridyl-amino, oxazolyl-amino, benzofuryl-amino, benzimidazolyl-amino, pyrrolinyl-amino, azepinyl-amino, pyrrolyl-amino, thiazolyl-amino, imidazolyl-amino, isoxazolyl-amino, isothiazolyl-amino, 1,2,3-triazolyl-amino, 1,2,4-triazolyl-amino, pyranyl-amino, pyridazinyl-amino, pyrimidinyl-amino, pyrazinyl-amino, 1,2,3-triazinyl-amino, 1,2,4-triazinyl-amino, 1,3,5-triazinyl-amino, 1,2,3-oxadiazolyl-amino, 1,2,4-oxadiazolyl-amino, 1,2,5-oxadiazolyl-amino, 1,2,3-thiadiazolyl-amino, 1,2,4-thiadiazolyl-amino, 1,2,5-thiadiazolyl-amino, 1,3,4-thiadiazolyl-amino, tetrazolyl-amino, thiadiazinyl-amino, indolyl-amino, isoindolyl-amino, benzothienyl-amino, benzothiophenyl-amino, indazolyl-amino, benzthiazolyl-amino, benzisothiazolyl-amino, benzisoxazolyl-amino, purinyl-amino, quinazolinyl-amino, quinolizinyl-amino, quinolinyl-amino, isoquinolinyl-amino, quinoxalinyl-amino, naphthyridinyl-amino, pteridinyl-amino, carbazolyl-amino, azepinyl-amino, diazepinyl-amino, acridinyl-amino, pyrazolinyl-amino, indolinyl-amino, pyrrolidinyl-amino, piperidinyl-amino, piperazinyl-amino, diazepinyl-amino, morpholinyl-amino, thiomorpholinyl-amino, oxazolidinyl-amino, oxazolinyl-amino, oxazepinyl-amino, aziridinyl-amino and tetrahydrofuranyl-amino.